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Solubilization of azo-dye-modified isatin derivative by amphiphilic carboxyresorcinarenes: The effect of macrocycle structure on the supramolecular association

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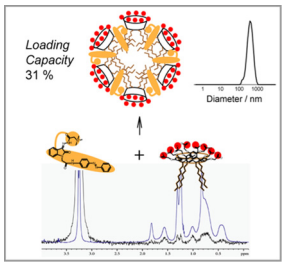
GRAPHICAL ABSTRACT



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ABSTRACT

Here we present the consecutive study of colloid systems formed by novel isatin derivative as compound with high pharmacological potential and series of carboxyresorcinarenes. The azo-modified isatin derivative bearing ammonium moiety (**I-3**) was synthesized and its antimicrobial activity was investigated. To increase its solubility the solubilization experiment using amphiphilic carboxyresorcinarenes, characterized by low hemolytic activity, was carried out. The **I-3** – macrocycles systems were studied by NMR, UV–vis, DLS and TEM. The FT PGSE and 2D NOESY NMR methods demonstrated, that solubilization of **I-3** is caused by the incorporation of its molecules in the hydrophobic part of the macrocycles associates. Herewith the loading efficiency of **I-3** into the macrocycles associates was reached of 20–30% due to the change of the volume of hydrophobic part of associates by varying the length and structure of hydrophobic substituents of macrocyclic amphiphiles.

1. Introduction

The growing demand on engineering of drug delivery systems promotes the new drug discovery and the development of the methods for improving their bioavailability. One of the ways to improve the solubility, biocompatibility, to reduce the toxicity and to preserve the premature biodegradation as well as for prolonged circulation in the body of hydrophobic drug is their non-covalent encapsulation in supramolecular associates of amphiphilic compounds such as liposomes [1], surfactants [2], polymers [3], and supramolecular macrocycles

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